

**PATENT COOPERATION TREATY**  
**PCT**  
**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**  
(Chapter II of the Patent Cooperation Treaty)  
(PCT Article 36 and Rule 70)

Applicant's or agent's file reference m/44238-PCT	<b>FOR FURTHER ACTION</b>		See Form PCT/APEA/416
International application No. PCT/EP2004/011004	International filing date (day/month/year) 01.10.2004	Priority date (day/month/year) 02.10.2003	
<p>International Patent Classification (IPC) or national classification and IPC C07C311/16, C07C311/29, A01N41/06</p> <p>Applicant BASF AKTIENGESELLSCHAFT et al.</p>			
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 5 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input checked="" type="checkbox"/> (<i>sent to the applicant and to the International Bureau</i>) a total of 3 sheets, as follows:</p> <ul style="list-style-type: none"> <li><input checked="" type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</li> <li><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</li> </ul> <p>b. <input type="checkbox"/> (<i>sent to the International Bureau only</i>) a total of (indicate type and number of electronic carrier(s)), containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p> <p>4. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> <li><input checked="" type="checkbox"/> Box No. I Basis of the opinion</li> <li><input type="checkbox"/> Box No. II Priority</li> <li><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</li> <li><input type="checkbox"/> Box No. IV Lack of unity of invention</li> <li><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</li> <li><input type="checkbox"/> Box No. VI Certain documents cited</li> <li><input type="checkbox"/> Box No. VII Certain defects in the international application</li> <li><input type="checkbox"/> Box No. VIII Certain observations on the international application</li> </ul>			
Date of submission of the demand 27.07.2005	Date of completion of this report 27.12.2005		
Name and mailing address of the international preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Österle, C Telephone No. +49 89 2399-8120		

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.  
PCT/EP2004/011004

## Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
  - This report is based on translations from the original language into the following language, which is the language of a translation furnished for the purposes of:
    - international search (under Rules 12.3 and 23.1(b))
    - publication of the international application (under Rule 12.4)
    - international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

### Description, Pages

1-61 as originally filed

### Claims, Numbers

1-18 filed with telefax on 28.07.2005

- a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3.  The amendments have resulted in the cancellation of:
  - the description, pages
  - the claims, Nos.
  - the drawings, sheets/figs
  - the sequence listing (*specify*):
  - any table(s) related to sequence listing (*specify*):
4.  This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
  - the description, pages
  - the claims, Nos.
  - the drawings, sheets/figs
  - the sequence listing (*specify*):
  - any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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**1. Statement**

Novelty (N)	Yes: Claims	1-17
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-17
Industrial applicability (IA)	Yes: Claims	1-17
	No: Claims	

**2. Citations and explanations (Rule 70.7):**

**see separate sheet**

**Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

- D1: FR-A-2 179 985 (DU PONT DE NEMOURS AND CY,US; DU PONT DE NEMOURS AND CY) 23 November 1973 (1973-11-23)
- D2: US-A-3 997 603 (MARTIN ET AL) 14 December 1976 (1976-12-14)
- D3: EP-A-0 033 984 (DUPHAR INTERNATIONAL RESEARCH B.V) 19 August 1981 (1981-08-19)

**1. Novelty (Article 33(2) PCT):**

- 1.1 The compound of example 1 of D1 and example 5 of D5 have been excluded from the subject-matter of the present claims by disclaimer.

Compounds 21 and 22 of D3 differ from the compounds of the present invention in that the amine group of the sulfonamide is bisubstituted.

The subject-matter of present compound claims 1-12 therefore is considered novel.

- 1.2 The subject-matter of claims 13-18 relating to compositions comprising said compound as well as methods using said compounds then can be considered novel as well.

**2. Inventive Step (Article 33(3) PCT):**

- 2.1 D1 and D2 disclose compounds which are structurally related to compounds of present claim 1. The compounds of D1 and D2 however are used as herbicides. Since the present compounds are used as pesticides, D1 and D2 are not relevant for the assessment of inventive step of the present claims.
- 2.2 D3 discloses compounds which are structurally related to compounds of present claim 1 and are used as pesticides, in particular as aphicides. In particular compounds 21 and 22 differ from the compounds of the present invention only in that

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(SEPARATE SHEET)**

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the amine group of the sulfonamide is bisubstituted.

Applicant has supplied experimental data comparing the compounds of D3 (examples 21 and 22) to the compounds of the present invention. The data shows that the claimed compounds have superior pesticidal properties.

The technical problem then can be formulated as the provision of compounds with improved pesticidal properties.

The compounds for which this effect has been shown as well as compounds which are close analogues thereof therefore can be considered inventive over D3.

2.3 Substituents R3-R5 of the tested compounds showing the desired pesticidal activity all are defined as hydrogen or halogen.

In present claims 1-10 the substituents R3-R5 can be any one of a large selection of different substituents. From the data disclosed in the present description one cannot assume that all compounds defined in claims 1-10 will have the desired activity. This is particularly important in view of the fact that the structural difference between some compounds falling within the subject-matter of claims 1-10 will be far greater than the structural difference between for example compound 66 and comparative examples I and II.

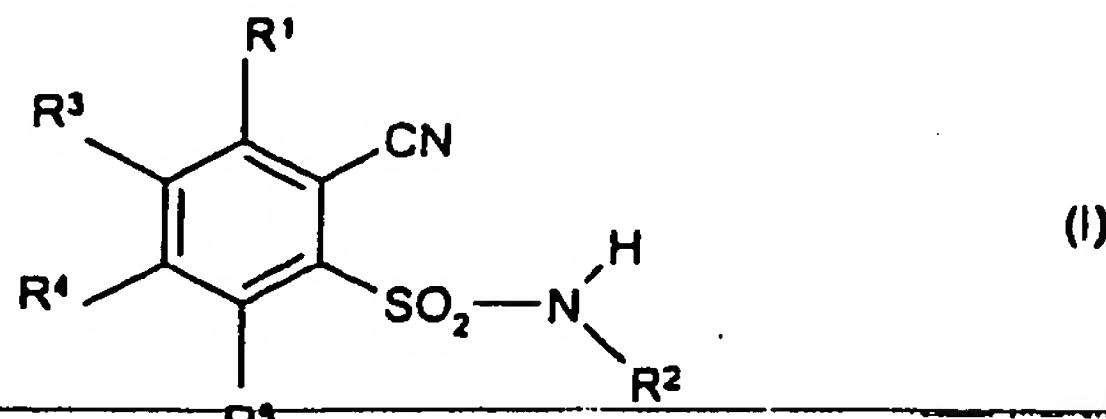
The subject-matter of claims 1-17 therefore are considered to lack inventive activity.

**3. Industrial Applicability (Article 33(4) PCT):**

The subject-matter of claims 1-17 is industrially applicable.

We claim:

1. A 2-cyanobenzenesulfonamide compound of the general formula I



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where

10      R<sup>1</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

15      R<sup>2</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl or C<sub>1</sub>-C<sub>4</sub>-alkoxy, wherein the five last-mentioned radicals may be unsubstituted, partially or fully halogenated and/or may carry one, two, or three radicals selected from the group consisting of C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkylthio, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, cyano, amino, (C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl and phenyl, it being possible for phenyl to be unsubstituted, partially or fully halogenated and/or to carry one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy; and

20      R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently of one another selected from the group consisting of hydrogen, halogen, cyano, nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkylthio, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, amino, (C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, aminocarbonyl, (C<sub>1</sub>-C<sub>4</sub>-alkyl)aminocarbonyl and di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)aminocarbonyl;

25      and/or the agriculturally useful salts thereof, except for 5-bromo-2-cyano-3,6-diisopropylbenzene sulfonamide.

30      2. A compound as claimed in claim 1 wherein in formula I R<sup>1</sup> is C<sub>1</sub>-C<sub>2</sub>-alkyl or C<sub>1</sub>-C<sub>2</sub>-alkoxy.

35      3. A compound as claimed in claim 2 wherein in formula I R<sup>1</sup> is methyl.

4. A compound as claimed in claim 2 wherein in formula I R<sup>1</sup> is methoxy.

5. A compound as claimed in claim 1 wherein in formula I R<sup>1</sup> is C<sub>1</sub>-C<sub>4</sub>-haloalkoxy.
6. A compound as claimed in claim 5 wherein in formula I R<sup>1</sup> is C<sub>1</sub>-haloalkoxy, in particular difluoromethoxy.
- 5 7. A compound as claimed in claim 1 wherein in formula I R<sup>2</sup> is selected from the group consisting of hydrogen, a hydrocarbon radical having from 1 to 4 carbon atoms, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl and C<sub>2</sub>-C<sub>4</sub>-alkinyl.
- 10 8. A compound as claimed in claim 5 wherein R<sup>2</sup> is hydrogen, methyl, ethyl, 1-methylethyl, or prop-2-yn-1-yl.
9. A compound as claimed in claim 1 where in formula I at least one of the radicals R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> is different from hydrogen.
- 15 10. A compound as claimed in claim 9 where R<sup>3</sup> is halogen.
11. A compound as claimed in claim 10, where R<sup>4</sup> and R<sup>5</sup> are hydrogen.
- 20 12. A compound as claimed in claim 1 where in formula I the radicals R<sup>3</sup>, R<sup>4</sup> or R<sup>5</sup> represent hydrogen.
13. An agricultural composition comprising such an amount of at least one compound of the general formula I and/or at least one agriculturally useful salt of I as defined in claim 1 and at least one inert liquid and/or solid agronomically acceptable carrier that it has a pesticidal action and, if desired, at least one surfactant.
- 25 14. A method of combating animal pests which comprises contacting the animal pests, their habit, breeding ground, food supply, plant, seed, soil, area, material or environment in which the animal pests are growing or may grow, or the materials, plants, seeds, soils, surfaces or spaces to be protected from animal attack or infestation with a pesticidally effective amount of at least one 2-cyano-benzenesulfonamide compound of the general formula I and/or at least one agriculturally acceptable salt thereof.
- 30 15. A method as defined in claim 14 where the animal pest is from the order Homoptera.
16. A method as defined in claim 14 where the animal pest is from the order Hymenoptera.
- 35 40 17. A method as defined in claim 14 where the animal pest is from the order Thysanoptera.

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18. A method for protecting crops from attack or infestation by animal pests which comprises contacting a crop with a pesticidally effective amount of at least one 2-cyano-benzenesulfonamide compound of the general formula I and/or at least one salt thereof as defined in claim 1.

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